

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization International Bureau



(43) International Publication Date
19 May 2005 (19.05.2005)

PCT

(10) International Publication Number
WO 2005/044841 A1

(51) International Patent Classification⁷: C07K 1/02, 14/505

(21) International Application Number: PCT/US2004/029047

(22) International Filing Date: 3 September 2004 (03.09.2004)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/500,708 5 September 2003 (05.09.2003) US
60/560,147 7 April 2004 (07.04.2004) US

(71) Applicant (for all designated States except US): SLOAN-KETTERING INSTITUTE FOR CANCER RESEARCH [US/US]; 1275 York Avenue, New York, NY 10021 (US).

(72) Inventors; and

(75) Inventors/Applicants (for US only): DANISHEFSKY, Samuel, J. [US/US]; 22 Brayton Street, Englewood, NJ 07631 (US). WARREN, David, J. [US/US]; 1233 York Avenue, Apt 3E, New York, NY 10021 (US).

(74) Agent: LAGNEAU, Nadege, M.; Choate, Hall & Stewart, Exchange Place, 53 State Street, Boston, MA 02109 (US).

(54) Title: METHOD FOR PREPARING POLYFUNCTIONALIZED PEPTIDES AND/OR PROTEINS VIA NATIVE CHEMICAL LIGATION

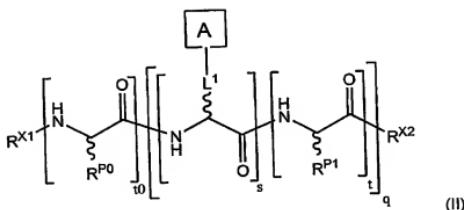
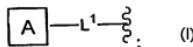
(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIGO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG).

Published:

- with international search report
- before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.



(57) Abstract: The present invention provides a method for preparing polyfunctionalized peptides and/or proteins at non-adjacent designated sites via native chemical ligation. In certain embodiments, the inventive method is a method for preparing a polyfunctionalized peptide comprising a peptidic backbone made up of four or more amino acids, wherein two or more non-adjacent amino acids are independently substituted with a moiety having the structure: wherein A and L¹ are as defined herein. In certain other embodiments, the inventive method allows the preparation of polyfunctionalized peptides having the general structure: wherein A, R^{P0}, R^{P1}, P^{X1}, R^{X2}, L¹, t, s, t and q are as defined herein.